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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 4	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 5	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 6	FEB 10	COMPENDEX reloaded and enhanced
NEWS 7	FEB 11	WTEXTILES reloaded and enhanced
NEWS 8	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 9	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 10	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 11	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 12	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 13	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 14	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 15	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 16	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 17	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 18	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS 19	MAR 23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS 20	MAR 30	IMSPATENTS reloaded and enhanced
NEWS 21	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS 22	APR 07	STN is raising the limits on saved answers
NEWS 23	APR 24	CA/CAplus now has more comprehensive patent assignee information
NEWS 24	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS 25	APR 28	CAS patent authority coverage expanded
NEWS 26	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 27	APR 28	Limits doubled for structure searching in CAS REGISTRY
NEWS 28	MAY 08	STN Express, Version 8.4, now available
NEWS 29	MAY 11	STN on the Web enhanced

NEWS 30 MAY 11 BEILSTEIN substance information now available on STN Easy
NEWS 31 MAY 14 DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and introduction of free HIT display format

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:12:36 ON 14 MAY 2009

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 14:12:55 ON 14 MAY 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAY 2009 HIGHEST RN 1146612-21-6
DICTIONARY FILE UPDATES: 13 MAY 2009 HIGHEST RN 1146612-21-6

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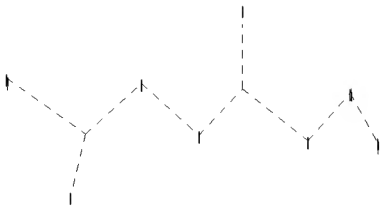
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

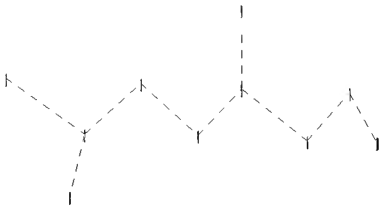
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10559385.str



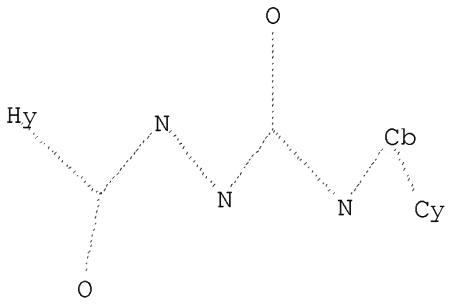


chain nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-2 2-3 2-8 3-4 4-5 5-6 5-9 6-7 7-10
exact/norm bonds :
1-2 2-3 2-8 3-4 4-5 5-6 5-9 6-7 7-10

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED - 1753 TO ITERATE

100.0% PROCESSED 1753 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 32549 TO 37571
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

```
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FULL SCREEN SEARCH COMPLETED -    36289 TO ITERATE

100.0% PROCESSED    36289 ITERATIONS                                 25 ANSWERS
SEARCH TIME: 00.00.02

L3           25 SEA SSS FUL L1

=> s l3 and caplus/lc
      66188106 CAPLUS/LC
L4           19 L3 AND CAPLUS/LC

=> s l3 not l4
L5            6 L3 NOT L4

=> d scan l5
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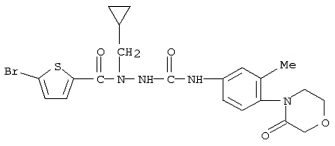
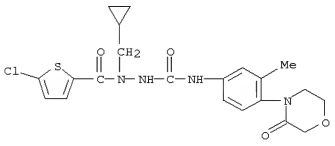
L5 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Thiophenecarboxylic acid, 5-bromo-, 1-(cyclopropylmethyl)-2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide
MF C21 H23 Br N4 O4 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

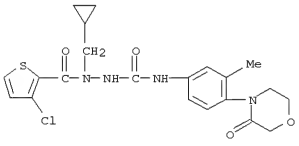
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L5 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Thiophenecarboxylic acid, 5-chloro-, 1-(cyclopropylmethyl)-2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide
MF C21 H23 Cl N4 O4 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 2-Thiophenecarboxylic acid, 3-chloro-, 1-(cyclopropylmethyl)-2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide
MF C21 H23 Cl N4 O4 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
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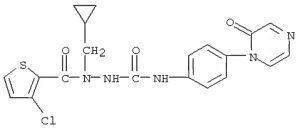

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
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2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide
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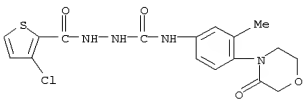

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN INDEX NAME NOT YET ASSIGNED
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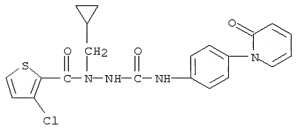

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> fil caplus
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 194.11 | 194.33

FILE 'CAPLUS' ENTERED AT 14:16:52 ON 14 MAY 2009
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FILE COVERS 1907 - 14 May 2009 VOL 150 ISS 20
FILE LAST UPDATED: 13 May 2009 (20090513/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009

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COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 0.50 | 194.83

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DICTIONARY FILE UPDATES: 13 MAY 2009 HIGHEST RN 1146612-21-6

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on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> d his

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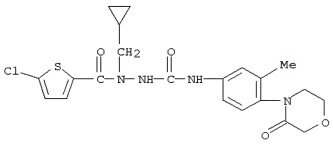
     FILE 'REGISTRY' ENTERED AT 14:12:55 ON 14 MAY 2009
L1   STRUCTURE UPLOADED
L2   0 S L1
L3   25 S L1 FULL
L4   19 S L3 AND CAPLUS/LC
L5   6 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 14:16:52 ON 14 MAY 2009

     FILE 'REGISTRY' ENTERED AT 14:16:55 ON 14 MAY 2009

=> d l5

L5 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1028307-88-1 REGISTRY
ED Entered STN: 15 Jun 2008
CN 2-Thiophenecarboxylic acid, 5-chloro-,
1-(cyclopropylmethyl)-2-[[[3-methyl-4-(3-oxo-4-
morpholinyl)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)
MF C21 H23 Cl N4 O4 S
SR Other Sources
Database: ChemSpider (ChemZoo, Inc.)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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=> fil caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         2.53     197.36

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FILE COVERS 1907 - 14 May 2009  VOL 150 ISS 20
FILE LAST UPDATED: 13 May 2009  (20090513/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Feb 2009

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This file contains CAS Registry Numbers for easy and accurate

=> d his

     (FILE 'HOME' ENTERED AT 14:12:36 ON 14 MAY 2009)

     FILE 'REGISTRY' ENTERED AT 14:12:55 ON 14 MAY 2009
L1             STRUCTURE UPLOADED
L2           0 S L1
L3          25 S L1 FULL
L4          19 S L3 AND CAPLUS/LC
L5           6 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 14:16:52 ON 14 MAY 2009

     FILE 'REGISTRY' ENTERED AT 14:16:55 ON 14 MAY 2009

     FILE 'CAPLUS' ENTERED AT 14:17:05 ON 14 MAY 2009

=> s l4
L6           3 L4

=> d ibib abs hitstr 1-3
```

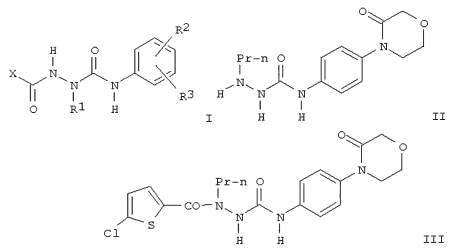
L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1080898 CAPLUS
DOCUMENT NUMBER: 142:56358
TITLE: Preparation of aroylsemicarbazides as factor Xa inhibitors for the treatment of thromboembolic diseases
INVENTOR(S): Mederski, Werner; Tsaklakidis, Christos; Dorsch, Dieter; Cezanne, Bertram; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108718	A1	20041216	WO 2004-EP5088	20040512
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10325962	A1	20041223	DE 2003-10325962	20030607
AU 2004245187	A1	20041216	AU 2004-245187	20040512
CA 2528233	A1	20041216	CA 2004-2528233	20040512
EP 1633745	A1	20060315	EP 2004-732283	20040512
EP 1633745	B1	20080702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010617	A	20060620	BR 2004-10617	20040512
CN 1802370	A	20060712	CN 2004-80015854	20040512
JP 2006527217	T	20061130	JP 2006-515768	20040512
AT 399781	T	20080715	AT 2004-732283	20040512
ES 2308179	T3	20081201	ES 2004-732283	20040512
IN 2005KN02382	A	20061027	IN 2005-KN2382	20051125
MX 2005013035	A	20060302	MX 2005-13035	20051202
ZA 2006000155	A	20070131	ZA 2006-155	20060106
US 20060241111	A1	20061026	US 2006-559385	20060621

PRIORITY APPLN. INFO.: DE 2003-10325962 A 20030607
WO 2004-EP5088 W 20040512

OTHER SOURCE(S): MARPAT 142:56358
GI



I II III

AB Title compds. I [X = Het; Het = bicyclic aromatic heterocycle with 1-3 N, O, or S atoms; R1 = A, S(O)mA, Ph, etc.; R2 = H, halo, A; A = H, (un)substituted cycloalkyl; R3 = 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1H-pyridin-1-yl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of amine II, i.e., prepared from 4-(4-aminophenyl)morpholin-3-one in 4-steps, and 5-chlorothiophen-2-carboxylic acid afforded aroylsemicarbazide III in 51% yield. In coagulation factor Xa receptor affinity binding assays, 3-examples of compds. I exhibited IC50 values ranging from 87-390 nM, i.e., the IC50 value of aroylsemicarbazide III was 390 nM. Compds. I are claimed to be useful for the treatment of thromboembolic diseases.

IT 808732-05-0P 808732-06-1P 808732-07-2P
808732-08-3P 808732-09-4P 808732-10-7P
808732-11-8P 808732-12-9P 808732-13-0P
808732-14-1P 808732-15-2P 808732-16-3P
808732-17-4P 808732-18-5P 808732-19-6P
808732-20-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroylsemicarbazides as factor Xa inhibitors for the treatment of thromboembolic diseases)

RN 808732-05-0 CAPLUS
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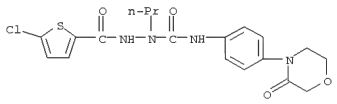
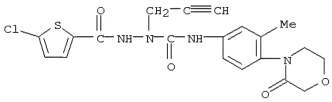


L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 808732-06-1 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-chloro-, 2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-2-(2-propyn-1-yl)hydrazide (CA INDEX NAME)



RN 808732-07-2 CAPLUS
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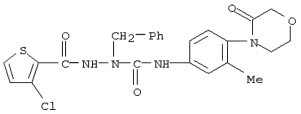

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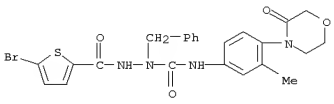
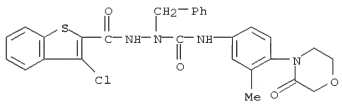


RN 808732-09-4 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-chloro-, 2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-2-(phenylmethyl)hydrazide (CA INDEX NAME)

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 808732-10-7 CAPLUS
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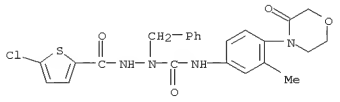
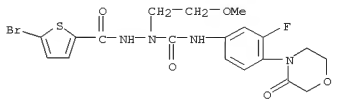
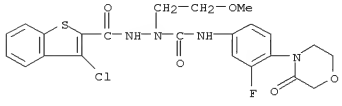


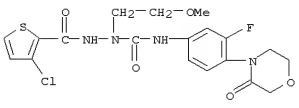
RN 808732-11-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-bromo-, 2-[[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-2-(2-methoxyethyl)hydrazide (CA INDEX NAME)



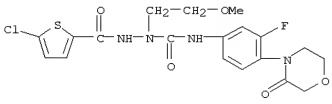
RN 808732-12-9 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-chloro-, 2-[[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-2-(2-methoxyethyl)hydrazide (CA INDEX NAME)



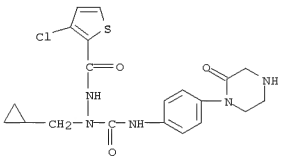
RN 808732-13-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-chloro-, 2-[[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-2-(2-methoxyethyl)hydrazide (CA INDEX NAME)



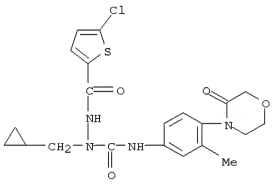
RN 808732-14-1 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-chloro-, 2-[[[3-fluoro-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]-2-(2-methoxyethyl)hydrazide (CA INDEX NAME)



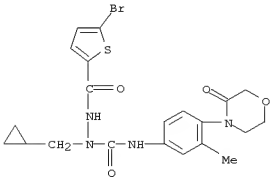
RN 808732-15-2 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-chloro-, 2-(cyclopropylmethyl)-2-[[[4-(2-oxo-1-piperazinyl)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)



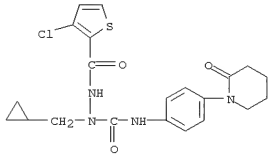
RN 808732-16-3 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-chloro-, 2-(cyclopropylmethyl)-2-[[[4-(2-oxo-1-piperidinyl)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)



RN 808732-20-9 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-bromo-, 2-(cyclopropylmethyl)-2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



RN 808732-17-4 CAPLUS
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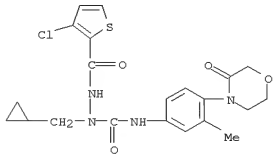
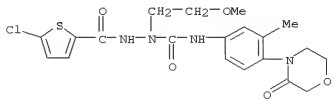


RN 808732-18-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-chloro-, 2-(2-methoxyethyl)-2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)



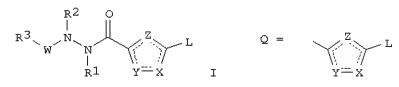
RN 808732-19-6 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-chloro-, 2-(cyclopropylmethyl)-2-[[[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]amino]carbonyl]hydrazide (CA INDEX NAME)

ACCESSION NUMBER: 1998:719263 CAPLUS
DOCUMENT NUMBER: 129:343722
ORIGINAL REFERENCE NO.: 129:70017a,70020a
TITLE: Preparation of heterocyclic amino acid hydrazides as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9848799	A1	19981105	WO 1998-US8740	19980429
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9803522	A	19981029	ZA 1998-3522	19980428
CA 2287989	A1	19981105	CA 1998-2287989	19980429
AU 9873651	A	19981124	AU 1998-73651	19980429
TR 9902703	T2	20000221	TR 1999-2703	19980429
BR 9809333	A	20000704	BR 1998-9333	19980429
EP 1019046	A1	20000719	EP 1998-920926	19980429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
HU 2000001294	A2	20010428	HU 2000-1294	19980429
HU 2000001294	A3	20010628		
JP 2002504097	T	20020205	JP 1998-547389	19980429
NO 9905268	A	19991115	NO 1999-5268	19991028
MX 9909976	A	20000430	MX 1999-9976	19991028
US 20020049316	A1	20020425	US 2001-22713	20011217
PRIORITY APPLN. INFO.:			US 1997-45067P	P 19970429
			WO 1998-US8740	W 19980429
			US 1999-423059	B1 19991029

OTHER SOURCE(S): MARPAT 129:343722
GI

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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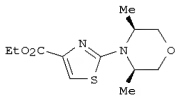

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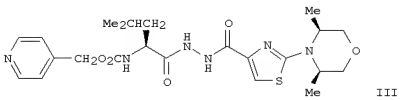


III

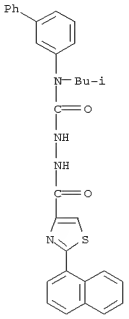
AB The present invention provides compds. I [L = C2-6 alkyl, Ar-C0-6 alkyl, Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; Ar = (un)substituted Ph, (un)substituted naphthyl; Het = (un)substituted 5-7-membered monocyclic or 7-10-membered bicyclic heterocycle; W = CO, SO2; X, Y, Z = independently N, O, S, CR10; R, R1, R2, R5, R10, R12 = independently H, C1-6 alkyl, C2-6 alkenyl, Ar-C0-6 alkyl, Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, CHR11Ar, CHR11OAr, NR11R12, CHR11NR12R13, heterocycle Q; R4, R11, R15 = independently any group R, C3-6 cycloalkyl-C0-6 alkyl; R7 = any group R4 except H; R4R7 form (un)substituted 3-7 membered monocyclic or 7-10 membered bicyclic ring; R6, R13 = independently R14, R14CO, R14CS, R14O2C, R14O2CNR9CHR15CO; R14 = any group R except H], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia or malignancy; and metabolic bone disease therewith. Thus, addition of cis-2,6-dimethylmorpholine with benzoyl isothiocyanate, followed by hydrolysis of the resulting benzoylthiourea and cyclocondensation with Et bromopyruvate, gave thiazole II. Conversion of II into the corresponding hydrazide with N2H4 and condensation with N-(4-pyridinylmethoxycarbonyl)-L-leucine gave hydrazide III. Prepns. for 195 addnl. hydrazides are also given.

IT 215520-49-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic amino acid hydrazides as protease inhibitors)

RN 215520-49-3 CAPLUS

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

CN 4-Thiazolecarboxylic acid, 2-(1-naphthalenyl)-, 2-[[[1,1'-biphenyl]-3-yl(2-methylpropyl)amino]carbonyl]hydrazide (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

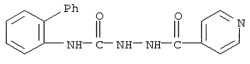
L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1956:74009 CAPLUS
DOCUMENT NUMBER: 50:74009
ORIGINAL REFERENCE NO.: 50:13916b-i,13917a-b
TITLE: Relation between molecular structure and tuberculostatic activity in the 1-acyl-4-arylthiosemicarbazide group
AUTHOR(S): Buu-Hoi, Ng. Ph.; Xuong, Ng. D.; Gazave, J. M.; Schembri, L.; Nam, Ng. H.; Long, C. T.
CORPORATE SOURCE: Univ. Paris
SOURCE: Bulletin de la Societe Chimique de France (1956) 363-9
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.

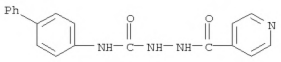
AB Hydrazides (I) were prepared in 80-98% yield by refluxing about 12 h. alc. solns. of the Me or Et ester of the acid with excess 95% hydrazine hydrate; azelaic dihydrazide, colorless leaflets, m. 177°; sebacic dihydrazide, colorless leaflets, m. 185°. Et 3-phenylsalicylate, b30 225°, m. 63°, (needles from EtOH), prepared by refluxing the acid 10 h. with a large excess of EtOH saturated with dry HCl, gave 3-phenylsalicyloyl hydrazide, colorless prisms from EtOH, m. 186°. Me 5-chloro-3-methylsalicylate, m. 88° (needles from EtOH), from esterification of the corresponding acid prepared by the action of Cl on o-cresotinic acid in AcOH solution containing Fe, gave 5-chloro-3-methylsalicyloyl hydrazide, colorless needles from EtOH, m. 151°. Me 5-bromo-3-methylsalicylate, m. 104°, prepared according to Thiele and Eichwede [Ann. chemical 311, 377(1900)], gave the corresponding I, colorless needles from EtOH, m. 154°. 1-Acyl-4-arylsemicarbazides (II) were prepared in quant. yield as colorless, difficultly-soluble needles by warming a C6H6 solution (or suspension) of the I with the aryl isocyanate, washing the crystals deposited on cooling with petr. ether, and recrystg. from EtOH. 1-Acyl-4-arylthiosemicarbazides (III) were prepared in 70-98% yield as colorless needles, more soluble than the corresponding II, by boiling an alc. solution of the I with the aryl isothiocyanate prepared from the corresponding N,N'-diarylthiourea (Buu-Hoi et al., C.A. 50, 3406i). Bis(thiosemicarbazides) (RC6H4NHCSNHNHCO)2(CH2)n (where n = 7 or 8) of aliphatic dicarboxylic acids were prepared as silky colorless needles from EtOH: azelaoyl bis(p-tolylthiosemicarbazide), m. 174°; azelaoyl bis(p-methoxyphenylthiosemicarbazide), m. 194°; azelaoyl bis(p-bromophenylthiosemicarbazide), m. 212° from EtOH-C6H6; sebacoyl bis(p-fluorophenylthiosemicarbazide), m. 178°; sebacoyl bis(phenylthiosemicarbazide), m. 148°. A turbidimetric method of measuring tuberculostatic activity compared with isonicotinoyl hydrazide is described, the results of which show a basal min. inhibitory conch. of 10-4 for II and III, 10-5 for derivs. of isonicotinic acid and p-hydroxybenzoic acid. The following II, RCONHNHCONHAr, were prepared (R, Ar, and m.p. given, resp.): CH:CH.N:CH.CH:C (IV), Ph (V), 242°; IV, p-ClC6H4 (VI), 249°; IV, p-BrC6H4 (VII), 261°; IV, p-EtOC6H4 (VIII), 255°; IV, p-PhC6H4 (p-IX), 278°; IV, o-IX, 246°; IV, α-C10H7 (α-X), 249°; IV, β-X, 252°; CH:N.CH:CH.CH:C (XI), V, 247°; XI, VI, 274° XI, VII, 281°; XI, VIII, 254°; XI, β-X, 252°; 2-HOC6H4 (XII), VI, 281° XII, VII, 284°; XII, α-X, 244°; XII, β-X, 263°; 5,2-Cl(HO)C6H3 (XIII), p-IX, 271°; XIII, α-X, 250°; XIII, VI, 272°. The following III, RCONHNHCSNHAr, were prepd. (R, Ar, and m.p. given): V, V, 172°; V, p-MeC6H4 (p-XIV), 182°; V, p-n-C7H15C6H4 (XV), 147°; V, p-MeOC6H4 (p-XVI), 170°; V, VIII, 169°; V, o-XVI, 168°; V, p-iso-BuOC6H4 (XVII), 171°; V, VII, 198°; V, α-X, 197°; V, β-X, 210°; 4-HOC6H4 (XVIII), V, 206°; XVIII, XIV, 216°; XVIII, p-BuC6H4 (XIX), 167°; XVIII, XV, 155°; XVIII, XVI, 199°; XVIII, VIII, 199°; XVIII, XVII, 185°; XVIII, VII, 208°; XVIII, α-X, 195°; XVIII, p-IX, 215°; XVIII, β-X, 223°; XII, p-PrC6H4 (XX), 202°; XII, XIX, 197°; XII, XV, 187°; XII, p-PrOC6H4 (XXI), 227°; XII, p-iso-PrOC6H4 (XXIa), 236°; XII, p-BuOC6H4 (XXIb), 224°; XII, XVII, 228°; XII, VII, 246°; XII, VI, 243°; XIII, V, 206°; XIII, XIV, 229°; XIII, m-XIV, 203°; XIII, XIX, 216°; XIII, XV, 197°; XIII, XXI, 227°; XIII, iso-XXIa, 223°; XIII, XXIb, 225°; XIII, XVII, 222°; XIII, VI, 238°; XIII, VII, 252°; 5,2-Br(HO)C6H4 (XXII), V, 212°; XXII, XIV, 230°; XXII, m-XIV, 209°; XXII, XIX, 206°; XXII, XV, 204°; XXII, XXI, 221°; XXII, XIX, 222°; XXII, p-FC6H4 (XXIII), 232°; XXII, VI, 235°; XXII, VII, 244°; 2,4-(HO)2C6H3 (XXIV), XIX, 199°; XXIV, VIII, 228°; XXIV, XXI, 224°; XXIV, XVII, 232°; XXIV, VI, 244°; XXIV, VII, 249°; XXIV, α-X, 223°; XXIV, β-X, 252°; 3,2-Me(HO)C6H3 (XXV), V, 180°; XXV, VI, 203°; XXV, XIV, 174°; XXV, m-XIV, 156°; XXV, o-XIV, 165°; XXV, XVI, 176°; XXV, VIII, 178°; XXV, XXIII, 182°; IV, p-IX, 223°; XI, p-IX, 202°; β-C10H7OCH2 (XXVI), V, 185°; XXVI, VI, 192°; XXVI, XIV, 160°; 3,2-Ph(HO)C6H3 (XXVII), V, 166°; XXVII, XXIII, 149°; XXVII, VI, 165°; XXVII, VII, 157°; XXVII, XIV, 147°; XXVII, VIII, 139°; p-O2NC6H4 (XXVIII), XXIII, 190°; XXVIII, VIII, 176°; XXVIII, α-X, 205°.

IT 731857-40-2P, Semicarbazide, 4-[2-biphenylyl]-1-isonicotinoyl- 731857-43-5P, Semicarbazide, 4-[4-biphenylyl]-1-isonicotinoyl-
RL: PREP (Preparation)
(preparation of)

RN 731857-40-2 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-[([1,1'-biphenyl]-2-ylamino)carbonyl]hydrazide (CA INDEX NAME)



RN 731857-43-5 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-[([1,1'-biphenyl]-4-ylamino)carbonyl]hydrazide (CA INDEX NAME)


Ph
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=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      17.42     214.78

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -2.46      -2.46

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